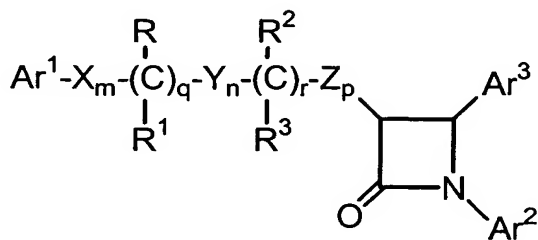


THEREFORE, WE CLAIM:

1. A composition comprising:

(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (I):



(I)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (I) or of the isomers thereof, or prodrugs of the compounds of Formula (I) or of the isomers, salts or solvates thereof,

wherein in Formula (I) above:

$\text{Ar}^1$  and  $\text{Ar}^2$  are independently selected from the group consisting of aryl and  $\text{R}^4$ -substituted aryl;

$\text{Ar}^3$  is aryl or  $\text{R}^5$ -substituted aryl;

X, Y and Z are independently selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{CH}(\text{lower alkyl})-$  and  $-\text{C}(\text{dilower alkyl})-$ ;

R and  $\text{R}^2$  are independently selected from the group consisting of  $-\text{OR}^6$ ,  $-\text{O}(\text{CO})\text{R}^6$ ,  $-\text{O}(\text{CO})\text{OR}^9$  and  $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$ ;

$\text{R}^1$  and  $\text{R}^3$  are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

$R^4$  is 1-5 substituents independently selected from the group consisting of lower alkyl,  $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$ ,  $-O(CH_2)_{1-5}OR^6$ ,  $-O(CO)NR^6R^7$ ,  $-NR^6R^7$ ,  $-NR^6(CO)R^7$ ,  $-NR^6(CO)OR^9$ ,  $-NR^6(CO)NR^7R^8$ ,  $-NR^6SO_2R^9$ ,  $-COOR^6$ ,  $-CONR^6R^7$ ,  $-COR^6$ ,  $-SO_2NR^6R^7$ ,  $S(O)_{0-2}R^9$ ,  $-O(CH_2)_{1-10}-COOR^6$ ,  $-O(CH_2)_{1-10}CONR^6R^7$ ,  $-(lower\ alkylene)COOR^6$ ,  $-CH=CH-COOR^6$ ,  $-CF_3$ ,  $-CN$ ,  $-NO_2$  and halogen;

$R^5$  is 1-5 substituents independently selected from the group consisting of  $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$ ,  $-O(CH_2)_{1-5}OR^6$ ,  $-O(CO)NR^6R^7$ ,  $-NR^6R^7$ ,  $-NR^6(CO)R^7$ ,  $-NR^6(CO)OR^9$ ,  $-NR^6(CO)NR^7R^8$ ,  $-NR^6SO_2R^9$ ,  $-COOR^6$ ,  $-CONR^6R^7$ ,  $-COR^6$ ,  $-SO_2NR^6R^7$ ,  $S(O)_{0-2}R^9$ ,  $-O(CH_2)_{1-10}-COOR^6$ ,  $-O(CH_2)_{1-10}CONR^6R^7$ ,  $-(lower\ alkylene)COOR^6$  and  $-CH=CH-COOR^6$ ;

$R^6$ ,  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

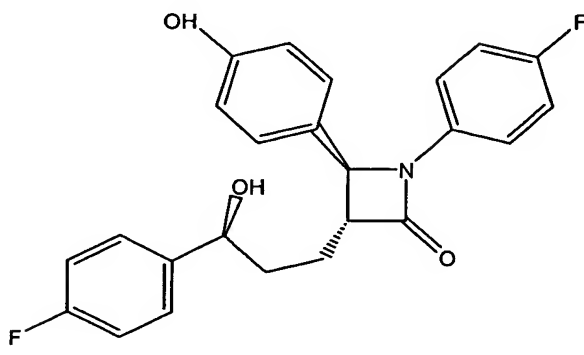
$R^9$  is lower alkyl, aryl or aryl-substituted lower alkyl.

2. The composition according to claim 1, wherein the at least one of nicotinic acid or derivatives thereof is selected from the group consisting of nicotinic acid, niceritrol, nicofuranose, acipimox and mixtures thereof.

3. The composition according to claim 2, wherein the at least one of nicotinic acid or derivatives thereof is nicotinic acid.

4. The composition according to claim 1, wherein the at least one of nicotinic acid or derivatives thereof is administered to a mammal in an amount ranging from about 500 to about 10,000 milligrams of nicotinic acid or derivatives thereof per day.

5. The composition according to claim 1, wherein the sterol absorption inhibitor is represented by Formula (II) below:



(II)

5 or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the compound of Formula (II) or of the salt or solvate thereof.

6. The composition according to claim 1, wherein the at least one sterol absorption inhibitor is administered to a mammal in an amount ranging from about 0.1 to about 1000 milligrams of sterol absorption inhibitor per day.

7. The composition according to claim 1, further comprising at least one cholesterol biosynthesis inhibitor.

8. The composition according to claim 7, wherein the at least one cholesterol biosynthesis inhibitor comprises at least one HMG CoA reductase inhibitor.

9. The composition according to claim 8, wherein the at least one HMG CoA reductase inhibitor is selected from the group consisting of lovastatin, pravastatin, fluvastatin, simvastatin, atorvastatin, cerivastatin and mixtures thereof.

10. The composition according to claim 9, wherein the at least one HMG CoA reductase inhibitor is simvastatin.

11. The composition according to claim 1, further comprising at least one PPAR receptor activator.

12. The composition according to claim 11, wherein the PPAR receptor activator is at least one fibric acid derivative is selected from the group consisting of fenofibrate, clofibrate, gemfibrozil, ciprofibrate, bezafibrate, clinofibrate, binifibrate, lifibrol and mixtures thereof.

13. The composition according to claim 12, wherein the at least one fibric acid derivative is fenofibrate.

14. The composition according to claim 1, further comprising at least one bile acid sequestrant.

15. The composition according to claim 14, wherein the at least one bile acid sequestrant is selected from the group consisting of cholestyramine and colestipol.

16. The composition according to claim 1, further comprising at least one AcylCoA:Cholesterol O-acyltransferase Inhibitor.

17. The composition according to claim 1, further comprising probucol or derivatives thereof.

18. The composition according to claim 1, further comprising at least one low-density lipoprotein receptor activator.

19. The composition according to claim 1, further comprising at least one Omega 3 fatty acid.

20. The composition according to claim 1, further comprising at least one natural water soluble fiber.

21. The composition according to claim 1, further comprising at least one of plant sterols, plant stanols or fatty acid esters of plant stanols.

22. The composition according to claim 1, further comprising at least one antioxidant or vitamin.

23. The composition according to claim 1, further comprising at least one hormone replacement therapy composition.

5 24. The composition according to claim 1, further comprising at least one obesity control medication.

25. The composition according to claim 1, further comprising at least one blood modifier different from the compound of Formula (I).

10 26. The composition according to claim 1, further comprising at least one cardiovascular agent different from the compound of Formula I.

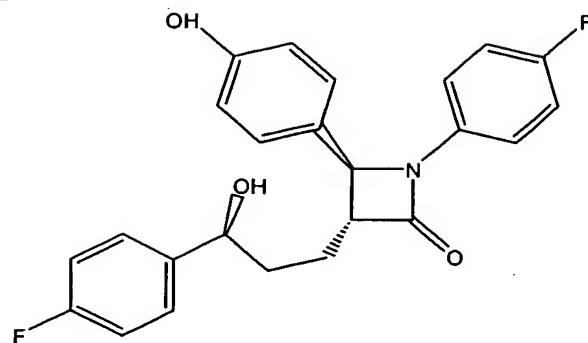
27. The composition according to claim 1, further comprising at least one antidiabetic medication.

28. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 1 and a pharmaceutically acceptable carrier.

29. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 1.

30. The method according to claim 29, wherein the vascular condition is hyperlipidemia.

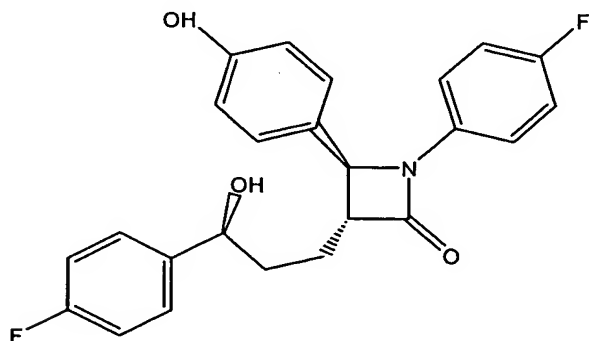
31. A composition comprising: (a) at least one of nicotinic acid or derivatives thereof; and (b) a compound represented by Formula (II) below:



(II)

or pharmaceutically acceptable salt or solvate thereof, or prodrug of the compound of Formula (II) or of the salt or solvate thereof.

32. A therapeutic combination comprising: (a) a first amount of at least one of nicotinic acid or derivatives thereof; and (b) a second amount of a compound represented by Formula (II) below:

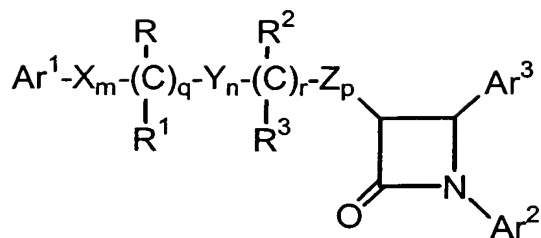


(II)

or pharmaceutically acceptable salt or solvate thereof, or prodrug of the compound of Formula (II) or of the salt or solvate thereof, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

33. A therapeutic combination comprising:

- (a) a first amount of at least one of nicotinic acid or derivatives thereof; and
- (b) a second amount of at least one sterol absorption inhibitor represented by Formula (I):



(I)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (I) or of the isomers thereof, or prodrugs of the compounds of Formula (I) or of the isomers, salts or solvates thereof, wherein in Formula (I) above:

$\text{Ar}^1$  and  $\text{Ar}^2$  are independently selected from the group consisting of aryl and  $\text{R}^4$ -substituted aryl;

$\text{Ar}^3$  is aryl or  $\text{R}^5$ -substituted aryl;

X, Y and Z are independently selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{CH}(\text{lower alkyl})-$  and  $-\text{C}(\text{dilower alkyl})-$ ;

R and  $\text{R}^2$  are independently selected from the group consisting of  $-\text{OR}^6$ ,  $-\text{O}(\text{CO})\text{R}^6$ ,  $-\text{O}(\text{CO})\text{OR}^9$  and  $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$ ;

$\text{R}^1$  and  $\text{R}^3$  are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

$\text{R}^4$  is 1-5 substituents independently selected from the group consisting of lower alkyl,  $-\text{OR}^6$ ,  $-\text{O}(\text{CO})\text{R}^6$ ,  $-\text{O}(\text{CO})\text{OR}^9$ ,  $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^6$ ,  $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$ ,  $-\text{NR}^6\text{R}^7$ ,  $-\text{NR}^6(\text{CO})\text{R}^7$ ,  $-\text{NR}^6(\text{CO})\text{OR}^9$ ,  $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$ ,  $-\text{NR}^6\text{SO}_2\text{R}^9$ ,  $-\text{COOR}^6$ ,  $-\text{CONR}^6\text{R}^7$ ,  $-\text{COR}^6$ ,  $-\text{SO}_2\text{NR}^6\text{R}^7$ ,  $\text{S}(\text{O})_{0-2}\text{R}^9$ ,  $-\text{O}(\text{CH}_2)_{1-10}-\text{COOR}^6$ ,  $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$ ,  $-(\text{lower alkylene})\text{COOR}^6$ ,  $-\text{CH}=\text{CH}-\text{COOR}^6$ ,  $-\text{CF}_3$ ,  $-\text{CN}$ ,  $-\text{NO}_2$  and halogen;

$R^5$  is 1-5 substituents independently selected from the group consisting of  $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$ ,  $-O(CH_2)_{1-5}OR^6$ ,  $-O(CO)NR^6R^7$ ,  $-NR^6R^7$ ,  $-NR^6(CO)R^7$ ,  $-NR^6(CO)OR^9$ ,  $-NR^6(CO)NR^7R^8$ ,  $-NR^6SO_2R^9$ ,  $-COOR^6$ ,  $-CONR^6R^7$ ,  $-COR^6$ ,  $-SO_2NR^6R^7$ ,  $S(O)_{0-2}R^9$ ,  $-O(CH_2)_{1-10}-COOR^6$ ,  $-O(CH_2)_{1-10}CONR^6R^7$ ,  $-(\text{lower alkylene})COOR^6$  and  $-CH=CH-COOR^6$ ;

$R^6$ ,  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

$R^9$  is lower alkyl, aryl or aryl-substituted lower alkyl wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

34. A therapeutic combination according to claim 33, wherein the at least one of nicotinic acid or derivatives thereof is administered concomitantly with the at least one sterol absorption inhibitor.

35. A therapeutic combination according to claim 33, wherein the at least one of nicotinic acid or derivatives thereof and the at least one sterol absorption inhibitor are present in separate treatment compositions.

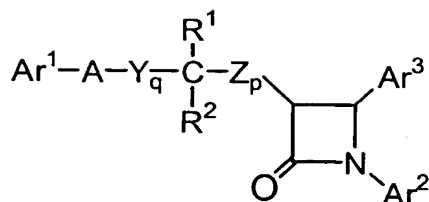
36. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 33.

37. A composition comprising:

(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (III):





(III)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (III) or of the isomers thereof, or prodrugs of the compounds of Formula (III) or of the isomers, salts or solvates thereof, wherein, in Formula (III) above:

$\text{Ar}^1$  is  $\text{R}^3$ -substituted aryl;

$\text{Ar}^2$  is  $\text{R}^4$ -substituted aryl;

$\text{Ar}^3$  is  $\text{R}^5$ -substituted aryl;

Y and Z are independently selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{CH}(\text{lower alkyl})-$  and  $-\text{C}(\text{dilower alkyl})-$ ;

A is selected from  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{S}(\text{O})-$  or  $-\text{S}(\text{O})_2-$ ;

$\text{R}^1$  is selected from the group consisting of  $-\text{OR}^6$ ,  $-\text{O}(\text{CO})\text{R}^6$ ,  $-\text{O}(\text{CO})\text{OR}^9$  and  $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$ ;  $\text{R}^2$  is selected from the group consisting of hydrogen, lower alkyl and aryl; or  $\text{R}^1$  and  $\text{R}^2$  together are  $=\text{O}$ ;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

$\text{R}^5$  is 1-3 substituents independently selected from the group consisting of  $-\text{OR}^6$ ,  $-\text{O}(\text{CO})\text{R}^6$ ,  $-\text{O}(\text{CO})\text{OR}^9$ ,  $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^9$ ,  $-\text{O}(\text{CO})\text{NR}^6\text{R}^7$ ,  $-\text{NR}^6\text{R}^7$ ,  $-\text{NR}^6(\text{CO})\text{R}^7$ ,  $-\text{NR}^6(\text{CO})\text{OR}^9$ ,  $-\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$ ,  $-\text{NR}^6\text{SO}_2\text{-lower alkyl}$ ,  $-\text{NR}^6\text{SO}_2\text{-aryl}$ ,  $-\text{CONR}^6\text{R}^7$ ,  $-\text{COR}^6$ ,  $-\text{SO}_2\text{NR}^6\text{R}^7$ ,  $\text{S}(\text{O})_{0-2}\text{-alkyl}$ ,  $\text{S}(\text{O})_{0-2}\text{-aryl}$ ,  $-\text{O}(\text{CH}_2)_{1-10}\text{-COOR}^6$ ,  $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$ , o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl,  $-(\text{lower alkylene})\text{-COOR}^6$ , and  $-\text{CH}=\text{CH-COOR}^6$ ;

$\text{R}^3$  and  $\text{R}^4$  are independently 1-3 substituents independently selected from the group consisting of  $\text{R}^5$ , hydrogen, p-lower alkyl, aryl,  $-\text{NO}_2$ ,  $-\text{CF}_3$  and p-halogeno;

$\text{R}^6$ ,  $\text{R}^7$  and  $\text{R}^8$  are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

$R^9$  is lower alkyl, aryl or aryl-

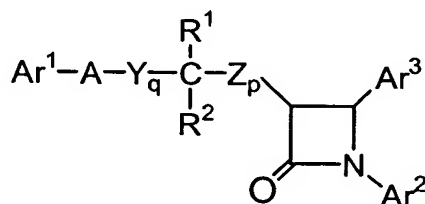
substituted lower alkyl.

38. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 37 and a pharmaceutically acceptable carrier.

39. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 38.

40. A therapeutic combination comprising:

- (a) a first amount of at least one of nicotinic acid or derivatives thereof; and
- (b) a second amount of at least one sterol absorption inhibitor represented by Formula (III):



(III)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (III) or of the isomers thereof, or prodrugs of the compounds of Formula (III) or of the isomers, salts or solvates thereof, wherein, in Formula (III) above:

$Ar^1$  is  $R^3$ -substituted aryl;

$Ar^2$  is  $R^4$ -substituted aryl;

$Ar^3$  is  $R^5$ -substituted aryl;

Y and Z are independently selected from the group consisting of  $-CH_2-$ ,  $-CH(\text{lower alkyl})-$  and  $-C(\text{dilower alkyl})-$ ;

A is selected from -O-, -S-, -S(O)- or -S(O)<sub>2</sub>-;

R<sup>1</sup> is selected from the group consisting of -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup> and -O(CO)NR<sup>6</sup>R<sup>7</sup>; R<sup>2</sup> is selected from the group consisting of hydrogen, lower alkyl and aryl; or R<sup>1</sup> and R<sup>2</sup> together are =O;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

R<sup>5</sup> is 1-3 substituents independently selected from the group consisting of -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>9</sup>, -O(CO)NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>(CO)R<sup>7</sup>, -NR<sup>6</sup>(CO)OR<sup>9</sup>, -NR<sup>6</sup>(CO)NR<sup>7</sup>R<sup>8</sup>, -NR<sup>6</sup>SO<sub>2</sub>-lower alkyl, -NR<sup>6</sup>SO<sub>2</sub>-aryl, -CONR<sup>6</sup>R<sup>7</sup>, -COR<sup>6</sup>, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, S(O)<sub>0-2</sub>-alkyl, S(O)<sub>0-2</sub>-aryl, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>6</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>6</sup>R<sup>7</sup>, o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, -(lower alkylene)-COOR<sup>6</sup>, and -CH=CH-COOR<sup>6</sup>;

R<sup>3</sup> and R<sup>4</sup> are independently 1-3 substituents independently selected from the group consisting of R<sup>5</sup>, hydrogen, p-lower alkyl, aryl, -NO<sub>2</sub>, -CF<sub>3</sub> and p-halogeno;

R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

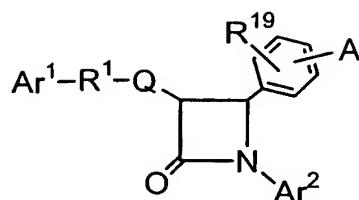
R<sup>9</sup> is lower alkyl, aryl or aryl-substituted lower alkyl, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

41. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 40.

42. A composition comprising:

(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (IV):



(IV)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (IV) or of the isomers thereof, or prodrugs of the compounds of Formula (IV) or of the isomers, salts or solvates thereof, wherein, in Formula (IV) above:

A is selected from the group consisting of R<sup>2</sup>-substituted heterocycloalkyl, R<sup>2</sup>-substituted heteroaryl, R<sup>2</sup>-substituted benzofused heterocycloalkyl, and R<sup>2</sup>-substituted benzofused heteroaryl;

Ar<sup>1</sup> is aryl or R<sup>3</sup>-substituted aryl;

Ar<sup>2</sup> is aryl or R<sup>4</sup>-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the

spiro group ; and

R<sup>1</sup> is selected from the group consisting of:

-(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH<sub>2</sub>)<sub>e</sub>-G-(CH<sub>2</sub>)<sub>r</sub>-, wherein G is -O-, -C(O)-, phenylene, -NR<sup>8</sup>- or -S(O)<sub>0-2</sub>-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-; and

-(CH<sub>2</sub>)<sub>f</sub>-V-(CH<sub>2</sub>)<sub>g</sub>-, wherein V is C<sub>3</sub>-C<sub>6</sub> cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R<sup>5</sup> is selected from:

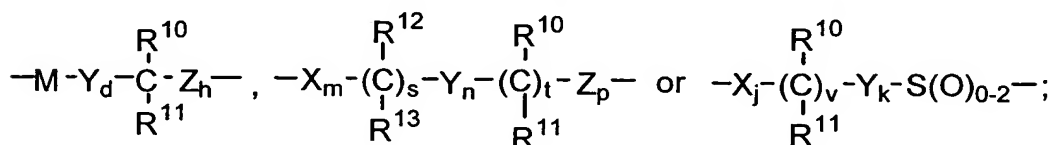
; or -<sup>+</sup>NO<sup>-</sup>;

R<sup>6</sup> and R<sup>7</sup> are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(C<sub>1</sub>-C<sub>6</sub> alkyl)-, -C(di-(C<sub>1</sub>-C<sub>6</sub>) alkyl)-, -CH=CH- and

$-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$ ; or  $\text{R}^5$  together with an adjacent  $\text{R}^6$ , or  $\text{R}^5$  together with an adjacent  $\text{R}^7$ , form a  $-\text{CH}=\text{CH}-$  or a  $-\text{CH}=\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})-$  group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when  $\text{R}^6$  is  $-\text{CH}=\text{CH}-$  or  $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$ , a is 1; provided that when  $\text{R}^7$  is  $-\text{CH}=\text{CH}-$  or  $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$ , b is 1; provided that when a is 2 or 3, the  $\text{R}^6$ 's can be the same or different; and provided that when b is 2 or 3, the  $\text{R}^7$ 's can be the same or different;

and when Q is a bond,  $\text{R}^1$  also can be selected from:



where M is  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{S}(\text{O})-$  or  $-\text{S}(\text{O})_2-$ ;

X, Y and Z are independently selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{CH}(\text{C}_1\text{-C}_6 \text{ alkyl})-$  and  $-\text{C}(\text{di}-(\text{C}_1\text{-C}_6) \text{ alkyl})-$ ;

$\text{R}^{10}$  and  $\text{R}^{12}$  are independently selected from the group consisting of  $-\text{OR}^{14}$ ,  $-\text{O}(\text{CO})\text{R}^{14}$ ,  $-\text{O}(\text{CO})\text{OR}^{16}$  and  $-\text{O}(\text{CO})\text{NR}^{14}\text{R}^{15}$ ;

$\text{R}^{11}$  and  $\text{R}^{13}$  are independently selected from the group consisting of hydrogen,  $(\text{C}_1\text{-C}_6)\text{alkyl}$  and aryl; or  $\text{R}^{10}$  and  $\text{R}^{11}$  together are  $=\text{O}$ , or  $\text{R}^{12}$  and  $\text{R}^{13}$  together are  $=\text{O}$ ;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

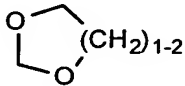
v is 0 or 1;

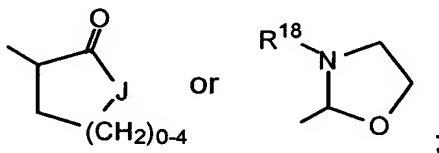
j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

$\text{R}^2$  is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen,  $(\text{C}_1\text{-C}_{10})\text{alkyl}$ ,  $(\text{C}_2\text{-C}_{10})\text{alkenyl}$ ,  $(\text{C}_2\text{-C}_{10})\text{alkynyl}$ ,

(C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkenyl, R<sup>17</sup>-substituted aryl, R<sup>17</sup>-substituted benzyl, R<sup>17</sup>-substituted benzyloxy, R<sup>17</sup>-substituted aryloxy, halogeno, -NR<sup>14</sup>R<sup>15</sup>, NR<sup>14</sup>R<sup>15</sup>(C<sub>1</sub>-C<sub>6</sub> alkylene)-, NR<sup>14</sup>R<sup>15</sup>C(O)(C<sub>1</sub>-C<sub>6</sub> alkylene)-, -NHC(O)R<sup>16</sup>, OH, C<sub>1</sub>-C<sub>6</sub> alkoxy, -OC(O)R<sup>16</sup>, -COR<sup>14</sup>, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl,

(C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, NO<sub>2</sub>, -S(O)<sub>0-2</sub>R<sup>16</sup>, -SO<sub>2</sub>NR<sup>14</sup>R<sup>15</sup> and -(C<sub>1</sub>-C<sub>6</sub> alkylene)COOR<sup>14</sup>; when R<sup>2</sup> is a substituent on a heterocycloalkyl ring, R<sup>2</sup> is

as defined, or is =O or ; and, where R<sup>2</sup> is a substituent on a substitutable ring nitrogen, it is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, aryloxy, (C<sub>1</sub>-C<sub>6</sub>)alkylcarbonyl, arylcarbonyl, hydroxy, -(CH<sub>2</sub>)<sub>1-6</sub>CONR<sup>18</sup>R<sup>18</sup>,



wherein J is -O-, -NH-, -NR<sup>18</sup>- or -CH<sub>2</sub>-;

R<sup>3</sup> and R<sup>4</sup> are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, -OR<sup>14</sup>, -O(CO)R<sup>14</sup>, -O(CO)OR<sup>16</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>14</sup>, -O(CO)NR<sup>14</sup>R<sup>15</sup>, -NR<sup>14</sup>R<sup>15</sup>, -NR<sup>14</sup>(CO)R<sup>15</sup>, -NR<sup>14</sup>(CO)OR<sup>16</sup>, -NR<sup>14</sup>(CO)NR<sup>15</sup>R<sup>19</sup>, -NR<sup>14</sup>SO<sub>2</sub>R<sup>16</sup>, -COOR<sup>14</sup>, -CONR<sup>14</sup>R<sup>15</sup>, -COR<sup>14</sup>, -SO<sub>2</sub>NR<sup>14</sup>R<sup>15</sup>, S(O)<sub>0-2</sub>R<sup>16</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>14</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>14</sup>R<sup>15</sup>, -(C<sub>1</sub>-C<sub>6</sub> alkylene)-COOR<sup>14</sup>, -CH=CH-COOR<sup>14</sup>, -CF<sub>3</sub>, -CN, -NO<sub>2</sub> and halogen;

R<sup>8</sup> is hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl (C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)R<sup>14</sup> or -COOR<sup>14</sup>;

R<sup>9</sup> and R<sup>17</sup> are independently 1-3 groups independently selected from the group consisting of hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -COOH, NO<sub>2</sub>, -NR<sup>14</sup>R<sup>15</sup>, OH and halogeno;

R<sup>14</sup> and R<sup>15</sup> are independently selected from the group consisting of hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl-substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>16</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl or R<sup>17</sup>-substituted aryl;

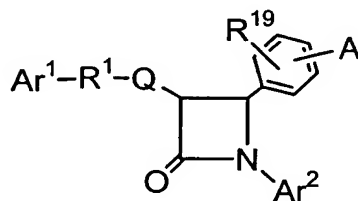
$R^{18}$  is hydrogen or  $(C_1-C_6)$ alkyl; and  
 $R^{19}$  is hydrogen, hydroxy or  $(C_1-C_6)$ alkoxy.

43. A pharmaceutical composition for the treatment or prevention of a  
 5 vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma  
 of a mammal, comprising a therapeutically effective amount of the composition of  
 claim 42 and a pharmaceutically acceptable carrier.

44. A method of treating or preventing a vascular condition, diabetes,  
 10 obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the  
 step of administering to a mammal in need of such treatment an effective amount of  
 the composition of claim 43.

45. A therapeutic combination comprising:

- 15 (a) a first amount of at least one of nicotinic acid or derivatives thereof; and  
 (b) a second amount of at least one sterol absorption inhibitor represented  
 by Formula (IV):



(IV)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds  
 of Formula (IV) or of the isomers thereof, or prodrugs of the compounds of Formula  
 25 (IV) or of the isomers, salts or solvates thereof, wherein, in Formula (IV) above:

A is selected from the group consisting of  $R^2$ -substituted heterocycloalkyl,  $R^2$ -  
 substituted heteroaryl,  $R^2$ -substituted benzofused heterocycloalkyl, and  $R^2$ -substituted  
 benzofused heteroaryl;

$Ar^1$  is aryl or  $R^3$ -substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the

spiro group  $\begin{array}{c} \diagup \\ \text{R}^5 - (\text{R}^6)_a \\ | \\ (\text{R}^7)_b \end{array}$ ; and

$R^1$  is selected from the group consisting of:

5  $-(CH_2)_q-$ , wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1:

-(CH<sub>2</sub>)<sub>e</sub>-G-(CH<sub>2</sub>)<sub>r</sub>-, wherein G is -O-, -C(O)-, phenylene, -NR<sup>8</sup>- or -S(O)<sub>0-2</sub>-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-; and

10  $-(CH_2)_f-V-(CH_2)_g-$ , wherein V is C<sub>3</sub>-C<sub>6</sub> cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

$R^5$  is selected from:

$$-\overset{|}{\text{CH}}-, -\overset{|}{\text{C}}(\text{C}_1\text{-C}_6 \text{ alkyl})-, -\overset{|}{\text{CF}}-, -\overset{|}{\text{C}}(\text{OH})-, -\overset{|}{\text{C}}(\text{C}_6\text{H}_4\text{-R}^9)-, -\overset{|}{\text{N}}-, \text{ or } -\overset{|}{\text{N}}\text{O}^-;$$

$R^6$  and  $R^7$  are independently selected from the group consisting of  
 15  $-CH_2-$ ,  $-CH(C_1-C_6 \text{ alkyl})-$ ,  $-C(\text{di-}(C_1-C_6 \text{ alkyl}))$ ,  $-CH=CH-$  and  
 $-C(C_1-C_6 \text{ alkyl})=CH-$ ; or  $R^5$  together with an adjacent  $R^6$ , or  $R^5$  together with an  
 adjacent  $R^7$ , form a  $-CH=CH-$  or a  $-CH=C(C_1-C_6 \text{ alkyl})-$  group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R<sup>6</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, a is 1; provided that when R<sup>7</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R<sup>6</sup>'s can be the same or different; and provided that when b is 2 or 3, the R<sup>7</sup>'s can be the same or different;

and when Q is a bond,  $R^1$  also can be selected from:

$$-M-Y_d-\overset{\overset{R^{10}}{|}}{\underset{\underset{R^{11}}{|}}{C}}-Z_h-, -X_m-(\overset{\overset{R^{12}}{|}}{\underset{\underset{R^{13}}{|}}{C}})_s-Y_n-(\overset{\overset{R^{10}}{|}}{\underset{\underset{R^{11}}{|}}{C}})_t-Z_p- \text{ or } -X_j-(\overset{\overset{R^{10}}{|}}{\underset{\underset{R^{11}}{|}}{C}})_v-Y_k-S(O)_{0-2}-;$$

25 where M is -O-, -S-, -S(O)- or -S(O)<sub>2</sub>-;

X, Y and Z are independently selected from the group consisting of



$-\text{CH}_2-$ ,  $-\text{CH}(\text{C}_1-\text{C}_6 \text{ alkyl})-$  and  $-\text{C}(\text{di}-(\text{C}_1-\text{C}_6 \text{ alkyl}))$ ;

$\text{R}^{10}$  and  $\text{R}^{12}$  are independently selected from the group consisting of  $-\text{OR}^{14}$ ,  $-\text{O}(\text{CO})\text{R}^{14}$ ,  $-\text{O}(\text{CO})\text{OR}^{16}$  and  $-\text{O}(\text{CO})\text{NR}^{14}\text{R}^{15}$ ;

$\text{R}^{11}$  and  $\text{R}^{13}$  are independently selected from the group consisting of hydrogen,  $(\text{C}_1-\text{C}_6)\text{alkyl}$  and aryl; or  $\text{R}^{10}$  and  $\text{R}^{11}$  together are  $=\text{O}$ , or  $\text{R}^{12}$  and  $\text{R}^{13}$  together are  $=\text{O}$ ;

$d$  is 1, 2 or 3;

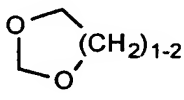
$h$  is 0, 1, 2, 3 or 4;

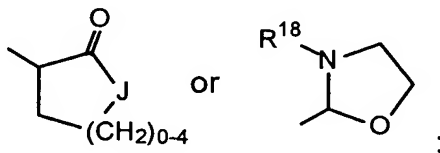
$s$  is 0 or 1;  $t$  is 0 or 1;  $m$ ,  $n$  and  $p$  are independently 0-4; provided that at least one of  $s$  and  $t$  is 1, and the sum of  $m$ ,  $n$ ,  $p$ ,  $s$  and  $t$  is 1-6; provided that when  $p$  is 0 and  $t$  is 1, the sum of  $m$ ,  $s$  and  $n$  is 1-5; and provided that when  $p$  is 0 and  $s$  is 1, the sum of  $m$ ,  $t$  and  $n$  is 1-5;

$v$  is 0 or 1;

$j$  and  $k$  are independently 1-5, provided that the sum of  $j$ ,  $k$  and  $v$  is 1-5;

$\text{R}^2$  is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen,  $(\text{C}_1-\text{C}_{10})\text{alkyl}$ ,  $(\text{C}_2-\text{C}_{10})\text{alkenyl}$ ,  $(\text{C}_2-\text{C}_{10})\text{alkynyl}$ ,  $(\text{C}_3-\text{C}_6)\text{cycloalkyl}$ ,  $(\text{C}_3-\text{C}_6)\text{cycloalkenyl}$ ,  $\text{R}^{17}$ -substituted aryl,  $\text{R}^{17}$ -substituted benzyl,  $\text{R}^{17}$ -substituted benzyloxy,  $\text{R}^{17}$ -substituted aryloxy, halogeno,  $-\text{NR}^{14}\text{R}^{15}$ ,  $\text{NR}^{14}\text{R}^{15}(\text{C}_1-\text{C}_6 \text{ alkylene})$ -,  $\text{NR}^{14}\text{R}^{15}\text{C}(\text{O})(\text{C}_1-\text{C}_6 \text{ alkylene})$ -,  $-\text{NHC}(\text{O})\text{R}^{16}$ ,  $\text{OH}$ ,  $\text{C}_1-\text{C}_6 \text{ alkoxy}$ ,  $-\text{OC}(\text{O})\text{R}^{16}$ ,  $-\text{COR}^{14}$ , hydroxy $(\text{C}_1-\text{C}_6)\text{alkyl}$ ,  $(\text{C}_1-\text{C}_6)\text{alkoxy}(\text{C}_1-\text{C}_6)\text{alkyl}$ ,  $\text{NO}_2$ ,  $-\text{S}(\text{O})_{0-2}\text{R}^{16}$ ,  $-\text{SO}_2\text{NR}^{14}\text{R}^{15}$  and  $-(\text{C}_1-\text{C}_6 \text{ alkylene})\text{COOR}^{14}$ ; when  $\text{R}^2$  is a substituent on a heterocycloalkyl ring,  $\text{R}^2$  is

as defined, or is  $=\text{O}$  or ; and, where  $\text{R}^2$  is a substituent on a substitutable ring nitrogen, it is hydrogen,  $(\text{C}_1-\text{C}_6)\text{alkyl}$ , aryl,  $(\text{C}_1-\text{C}_6)\text{alkoxy}$ , aryloxy,  $(\text{C}_1-\text{C}_6)\text{alkylcarbonyl}$ , arylcarbonyl, hydroxy,  $-(\text{CH}_2)_{1-6}\text{CONR}^{18}\text{R}^{18}$ ,



wherein  $J$  is  $-\text{O}-$ ,  $-\text{NH}-$ ,  $-\text{NR}^{18}$  or  $-\text{CH}_2-$ ;

$R^3$  and  $R^4$  are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of  $(C_1-C_6)$ alkyl,  $-OR^{14}$ ,  $-O(CO)R^{14}$ ,  $-O(CO)OR^{16}$ ,  $-O(CH_2)_{1-5}OR^{14}$ ,  $-O(CO)NR^{14}R^{15}$ ,  $-NR^{14}R^{15}$ ,  $-NR^{14}(CO)R^{15}$ ,  $-NR^{14}(CO)OR^{16}$ ,  $-NR^{14}(CO)NR^{15}R^{19}$ ,  $-NR^{14}SO_2R^{16}$ ,  $-COOR^{14}$ ,  $-CONR^{14}R^{15}$ ,  $-COR^{14}$ ,  $-SO_2NR^{14}R^{15}$ ,  $S(O)_{0-2}R^{16}$ ,  $-O(CH_2)_{1-10}-COOR^{14}$ ,  $-O(CH_2)_{1-10}CONR^{14}R^{15}$ ,  $-(C_1-C_6 \text{ alkylene})-COOR^{14}$ ,  $-CH=CH-COOR^{14}$ ,  $-CF_3$ ,  $-CN$ ,  $-NO_2$  and halogen;

$R^8$  is hydrogen,  $(C_1-C_6)$ alkyl, aryl  $(C_1-C_6)$ alkyl,  $-C(O)R^{14}$  or  $-COOR^{14}$ ;

$R^9$  and  $R^{17}$  are independently 1-3 groups independently selected from the group consisting of hydrogen,  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy,  $-COOH$ ,  $NO_2$ ,  $-NR^{14}R^{15}$ ,  $OH$  and halogeno;

$R^{14}$  and  $R^{15}$  are independently selected from the group consisting of hydrogen,  $(C_1-C_6)$ alkyl, aryl and aryl-substituted  $(C_1-C_6)$ alkyl;

$R^{16}$  is  $(C_1-C_6)$ alkyl, aryl or  $R^{17}$ -substituted aryl;

$R^{18}$  is hydrogen or  $(C_1-C_6)$ alkyl; and

$R^{19}$  is hydrogen, hydroxy or  $(C_1-C_6)$ alkoxy,

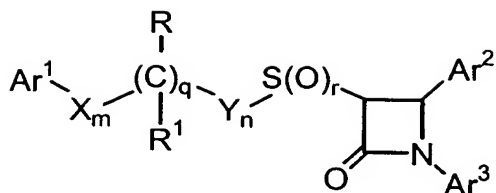
wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

46. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 45.

47. A composition comprising:

(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (V):



(V)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (V) or of the isomers thereof, or prodrugs of the compounds of Formula (V) or of the isomers, salts or solvates thereof, wherein, in Formula (V) above:

Ar<sup>1</sup> is aryl, R<sup>10</sup>-substituted aryl or heteroaryl;

Ar<sup>2</sup> is aryl or R<sup>4</sup>-substituted aryl;

Ar<sup>3</sup> is aryl or R<sup>5</sup>-substituted aryl;

X and Y are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R is -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup> or -O(CO)NR<sup>6</sup>R<sup>7</sup>; R<sup>1</sup> is hydrogen, lower alkyl or aryl; or R and R<sup>1</sup> together are =O;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and q is 1, 2, 3, 4 or 5;

R<sup>4</sup> is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>6</sup>, -O(CO)NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>(CO)R<sup>7</sup>, -NR<sup>6</sup>(CO)OR<sup>9</sup>, -NR<sup>6</sup>(CO)NR<sup>7</sup>R<sup>8</sup>, -NR<sup>6</sup>SO<sub>2</sub>R<sup>9</sup>, -COOR<sup>6</sup>, -CONR<sup>6</sup>R<sup>7</sup>, -COR<sup>6</sup>, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, S(O)<sub>0-2</sub>R<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>6</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>6</sup>R<sup>7</sup>, -(lower alkylene)COOR<sup>6</sup> and -CH=CH-COOR<sup>6</sup>;

R<sup>5</sup> is 1-5 substituents independently selected from the group consisting of -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>6</sup>, -O(CO)NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>(CO)R<sup>7</sup>, -NR<sup>6</sup>(CO)OR<sup>9</sup>, -NR<sup>6</sup>(CO)NR<sup>7</sup>R<sup>8</sup>, -NR<sup>6</sup>SO<sub>2</sub>R<sup>9</sup>, -COOR<sup>6</sup>, -CONR<sup>6</sup>R<sup>7</sup>, -COR<sup>6</sup>, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, S(O)<sub>0-2</sub>R<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>6</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>6</sup>R<sup>7</sup>, -CF<sub>3</sub>, -CN, -NO<sub>2</sub>, halogen, -(lower alkylene)COOR<sup>6</sup> and -CH=CH-COOR<sup>6</sup>;

$R^6$ ,  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

$R^9$  is lower alkyl, aryl or aryl-substituted lower alkyl; and

$R^{10}$  is 1-5 substituents independently selected from the group consisting of  
 5 lower alkyl,  $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$ ,  $-O(CH_2)_{1-5}OR^6$ ,  $-O(CO)NR^6R^7$ ,  
 $-NR^6R^7$ ,  $-NR^6(CO)R^7$ ,  $-NR^6(CO)OR^9$ ,  $-NR^6(CO)NR^7R^8$ ,  $-NR^6SO_2R^9$ ,  $-COOR^6$ ,  
 $-CONR^6R^7$ ,  $-COR^6$ ,  $-SO_2NR^6R^7$ ,  $-S(O)_{0-2}R^9$ ,  $-O(CH_2)_{1-10}-COOR^6$ ,  
 $-O(CH_2)_{1-10}CONR^6R^7$ ,  $-CF_3$ ,  $-CN$ ,  $-NO_2$  and halogen.

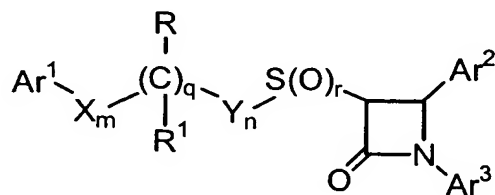
10 48. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 47 and a pharmaceutically acceptable carrier.

15 49. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 48.

20 50. A therapeutic combination comprising:

- (a) a first amount of at least one of nicotinic acid or derivatives thereof; and
- (b) a second amount of at least one sterol absorption inhibitor represented by Formula (V):

25



(V)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (V) or of the isomers thereof, or prodrugs of the compounds of Formula (V) or of the isomers, salts or solvates thereof, wherein, in Formula (V) above:

Ar<sup>1</sup> is aryl, R<sup>10</sup>-substituted aryl or heteroaryl;

Ar<sup>2</sup> is aryl or R<sup>4</sup>-substituted aryl;

Ar<sup>3</sup> is aryl or R<sup>5</sup>-substituted aryl;

X and Y are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R is -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup> or -O(CO)NR<sup>6</sup>R<sup>7</sup>; R<sup>1</sup> is hydrogen, lower alkyl or aryl; or R and R<sup>1</sup> together are =O;

q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and q is 1, 2, 3, 4 or 5;

R<sup>4</sup> is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>6</sup>, -O(CO)NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>(CO)R<sup>7</sup>, -NR<sup>6</sup>(CO)OR<sup>9</sup>, -NR<sup>6</sup>(CO)NR<sup>7</sup>R<sup>8</sup>, -NR<sup>6</sup>SO<sub>2</sub>R<sup>9</sup>, -COOR<sup>6</sup>, -CONR<sup>6</sup>R<sup>7</sup>, -COR<sup>6</sup>, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, S(O)<sub>0-2</sub>R<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>6</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>6</sup>R<sup>7</sup>, -(lower alkylene)COOR<sup>6</sup> and -CH=CH-COOR<sup>6</sup>;

R<sup>5</sup> is 1-5 substituents independently selected from the group consisting of -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>6</sup>, -O(CO)NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>(CO)R<sup>7</sup>, -NR<sup>6</sup>(CO)OR<sup>9</sup>, -NR<sup>6</sup>(CO)NR<sup>7</sup>R<sup>8</sup>, -NR<sup>6</sup>SO<sub>2</sub>R<sup>9</sup>, -COOR<sup>6</sup>, -CONR<sup>6</sup>R<sup>7</sup>, -COR<sup>6</sup>, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, S(O)<sub>0-2</sub>R<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>6</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>6</sup>R<sup>7</sup>, -CF<sub>3</sub>, -CN, -NO<sub>2</sub>, halogen, -(lower alkylene)COOR<sup>6</sup> and -CH=CH-COOR<sup>6</sup>;

R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R<sup>9</sup> is lower alkyl, aryl or aryl-substituted lower alkyl; and

R<sup>10</sup> is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>6</sup>, -O(CO)NR<sup>6</sup>R<sup>7</sup>,

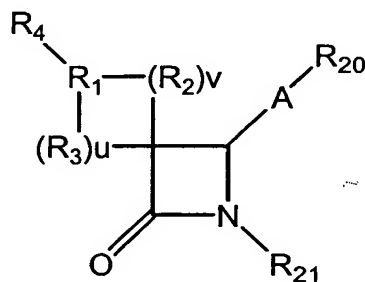
$-\text{NR}^6\text{R}^7$ ,  $-\text{NR}^6(\text{CO})\text{R}^7$ ,  $-\text{NR}^6(\text{CO})\text{OR}^9$ , - <sup>108</sup> $\text{NR}^6(\text{CO})\text{NR}^7\text{R}^8$ ,  $-\text{NR}^6\text{SO}_2\text{R}^9$ ,  $-\text{COOR}^6$ ,  
 $-\text{CONR}^6\text{R}^7$ ,  $-\text{COR}^6$ ,  $-\text{SO}_2\text{NR}^6\text{R}^7$ ,  $-\text{S}(\text{O})_{0-2}\text{R}^9$ ,  $-\text{O}(\text{CH}_2)_{1-10}-\text{COOR}^6$ ,  
 $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^6\text{R}^7$ ,  $-\text{CF}_3$ ,  $-\text{CN}$ ,  $-\text{NO}_2$  and halogen,

wherein the first amount and the second amount together comprise a therapeutically  
 effective amount for the treatment or prevention of a vascular condition, diabetes,  
 obesity or lowering a concentration of a sterol in plasma of a mammal.

51. A method of treating or preventing a vascular condition, diabetes,  
 obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the  
 step of administering to a mammal in need of such treatment an effective amount of  
 the therapeutic combination of claim 50.

52. A composition comprising:

- (a) at least one of nicotinic acid or derivatives thereof; and
- (b) at least one sterol absorption inhibitor represented by Formula (VI):



(VI)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds  
 of Formula (VI) or of the isomers thereof, or prodrugs of the compounds of Formula  
 (VI) or of the isomers, salts or solvates thereof, wherein:

$\text{R}_1$  is

$-\text{CH}-$ ,  $-\text{C}(\text{lower alkyl})-$ ,  $-\text{CF}-$ ,  $-\text{C}(\text{OH})-$ ,  $-\text{C}(\text{C}_6\text{H}_5)-$ ,  $-\text{C}(\text{C}_6\text{H}_4-\text{R}_{15})-$ ,

$-\text{N}-$  or  $-\text{N}^+\text{O}^-$ ;

$\text{R}_2$  and  $\text{R}_3$  are independently selected from the group consisting of:

-CH<sub>2</sub>-, -CH(lower alkyl)-, -C(di-lower alkyl)-, -CH=CH- and -C(lower alkyl)=CH-; or

R<sub>1</sub> together with an adjacent R<sub>2</sub>, or R<sub>1</sub> together with an adjacent R<sub>3</sub>, form a -CH=CH- or a -CH=C(lower alkyl)- group;

u and v are independently 0, 1, 2 or 3, provided both are not zero; provided that when R<sub>2</sub> is -CH=CH- or -C(lower alkyl)=CH-, v is 1; provided that when R<sub>3</sub> is -CH=CH- or -C(lower alkyl)=CH-, u is 1; provided that when v is 2 or 3, the R<sub>2</sub>'s can be the same or different; and provided that when u is 2 or 3, the R<sub>3</sub>'s can be the same or different;

R<sub>4</sub> is selected from B-(CH<sub>2</sub>)<sub>m</sub>C(O)-, wherein m is 0, 1, 2, 3, 4 or 5;

B-(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 0, 1, 2, 3, 4, 5 or 6;

B-(CH<sub>2</sub>)<sub>e</sub>-Z-(CH<sub>2</sub>)<sub>r</sub>-, wherein Z is -O-, -C(O)-, phenylene, -N(R<sub>8</sub>)- or -S(O)<sub>0-2</sub>-, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 5 or 6;

B-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-;

B-(C<sub>4</sub>-C<sub>6</sub> alkadienylene)-;

B-(CH<sub>2</sub>)<sub>t</sub>-Z-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-, wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH<sub>2</sub>)<sub>f</sub>-V-(CH<sub>2</sub>)<sub>g</sub>-, wherein V is C<sub>3</sub>-C<sub>6</sub> cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;

B-(CH<sub>2</sub>)<sub>t</sub>-V-(C<sub>2</sub>-C<sub>6</sub> alkenylene)- or

B-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-V-(CH<sub>2</sub>)<sub>t</sub>-, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

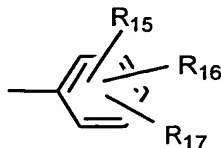
B-(CH<sub>2</sub>)<sub>a</sub>-Z-(CH<sub>2</sub>)<sub>b</sub>-V-(CH<sub>2</sub>)<sub>d</sub>-, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or

T-(CH<sub>2</sub>)<sub>s</sub>-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

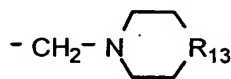
R<sub>1</sub> and R<sub>4</sub> together form the group  $\text{B}-\text{CH}=\overset{\text{I}}{\text{C}}-$  ;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of

pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxycarbonylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF<sub>3</sub>, -OCF<sub>3</sub>, benzyl, R<sub>7</sub>-benzyl, benzyloxy, R<sub>7</sub>-benzyloxy, phenoxy, R<sub>7</sub>-phenoxy, dioxolanyl, NO<sub>2</sub>, -N(R<sub>8</sub>)(R<sub>9</sub>), N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkylene-, N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkyleneoxy-, OH, halogeno, -CN, -N<sub>3</sub>, -NHC(O)OR<sub>10</sub>, -NHC(O)R<sub>10</sub>, R<sub>11</sub>O<sub>2</sub>SNH-, (R<sub>11</sub>O<sub>2</sub>S)<sub>2</sub>N-, -S(O)<sub>2</sub>NH<sub>2</sub>, -S(O)<sub>0-2</sub>R<sub>8</sub>, tert-butyldimethyl-silyloxymethyl, -C(O)R<sub>12</sub>, -COOR<sub>19</sub>, -CON(R<sub>8</sub>)(R<sub>9</sub>), -CH=CHC(O)R<sub>12</sub>, -lower alkylene-C(O)R<sub>12</sub>, R<sub>10</sub>C(O)(lower alkyleneoxy)-, N(R<sub>8</sub>)(R<sub>9</sub>)C(O)(lower alkyleneoxy)- and



- CH<sub>2</sub>-N for substitution on ring carbon atoms, and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, C(O)OR<sub>10</sub>, -C(O)R<sub>10</sub>, OH, N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkylene-, N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkyleneoxy-, -S(O)<sub>2</sub>NH<sub>2</sub> and 2-(trimethylsilyl)-ethoxymethyl;

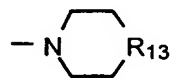
R<sub>7</sub> is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO<sub>2</sub>, -N(R<sub>8</sub>)(R<sub>9</sub>), OH, and halogeno;

R<sub>8</sub> and R<sub>9</sub> are independently selected from H or lower alkyl;

R<sub>10</sub> is selected from lower alkyl, phenyl, R<sub>7</sub>-phenyl, benzyl or R<sub>7</sub>-benzyl;

R<sub>11</sub> is selected from OH, lower alkyl, phenyl, benzyl, R<sub>7</sub>-phenyl or R<sub>7</sub>-benzyl;

R<sub>12</sub> is selected from H, OH, alkoxy, phenoxy, benzyloxy,



, -N(R<sub>8</sub>)(R<sub>9</sub>), lower alkyl, phenyl or R<sub>7</sub>-phenyl;

R<sub>13</sub> is selected from -O-, -CH<sub>2</sub>-, -NH-, -N(lower alkyl)- or -NC(O)R<sub>19</sub>;



R15, R16 and R17 are independently selected from the group consisting of H and the groups defined for W; or R15 is hydrogen and R16 and R17, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R19 is H, lower alkyl, phenyl or phenyl lower alkyl; and

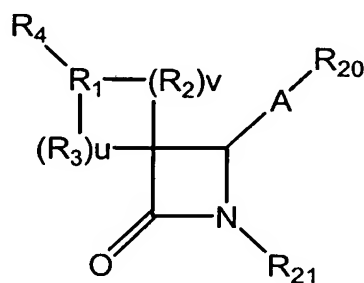
R20 and R21 are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above.

53. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 52 and a pharmaceutically acceptable carrier.

54. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 53.

55. A therapeutic combination comprising:

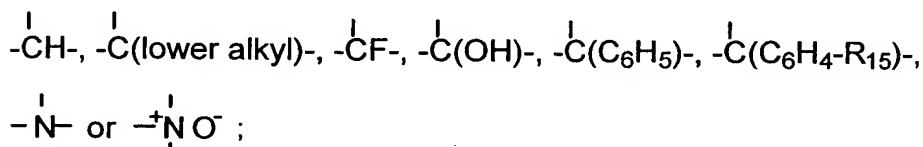
- (a) a first amount of at least one of nicotinic acid or derivatives thereof; and
- (b) a second amount of at least one sterol absorption inhibitor represented by Formula (VI):



(VI)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VI) or of the isomers thereof, or prodrugs of the compounds of Formula (VI) or of the isomers, salts or solvates thereof, wherein:

R<sub>1</sub> is



R<sub>2</sub> and R<sub>3</sub> are independently selected from the group consisting of:

-CH<sub>2</sub>-, -CH(lower alkyl)-, -C(di-lower alkyl)-, -CH=CH- and -C(lower alkyl)=CH-; or

R<sub>1</sub> together with an adjacent R<sub>2</sub>, or R<sub>1</sub> together with an adjacent R<sub>3</sub>, form a -CH=CH- or a -CH=C(lower alkyl)- group;

u and v are independently 0, 1, 2 or 3, provided both are not zero; provided that when R<sub>2</sub> is -CH=CH- or -C(lower alkyl)=CH-, v is 1; provided that when R<sub>3</sub> is -CH=CH- or -C(lower alkyl)=CH-, u is 1; provided that when v is 2 or 3, the R<sub>2</sub>'s can be the same or different; and provided that when u is 2 or 3, the R<sub>3</sub>'s can be the same or different;

R<sub>4</sub> is selected from B-(CH<sub>2</sub>)<sub>m</sub>C(O)-, wherein m is 0, 1, 2, 3, 4 or 5;

B-(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 0, 1, 2, 3, 4, 5 or 6;

B-(CH<sub>2</sub>)<sub>e</sub>-Z-(CH<sub>2</sub>)<sub>r</sub>-, wherein Z is -O-, -C(O)-, phenylene, -N(R<sub>8</sub>)- or -S(O)<sub>0-2</sub>-, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 5 or 6;

B-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-;

B-(C<sub>4</sub>-C<sub>6</sub> alkadienylene)-;

B-(CH<sub>2</sub>)<sub>t</sub>-Z-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-, wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH<sub>2</sub>)<sub>f</sub>-V-(CH<sub>2</sub>)<sub>g</sub>-, wherein V is C<sub>3</sub>-C<sub>6</sub> cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;

B-(CH<sub>2</sub>)<sub>t</sub>-V-(C<sub>2</sub>-C<sub>6</sub> alkenylene)- or

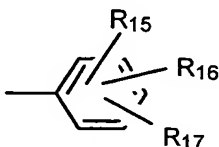
B-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-V-(CH<sub>2</sub>)<sub>t</sub>-, wherein V and t are as defined above, provided that the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;

B-(CH<sub>2</sub>)<sub>a</sub>-Z-(CH<sub>2</sub>)<sub>b</sub>-V-(CH<sub>2</sub>)<sub>d</sub>-, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or

T-(CH<sub>2</sub>)<sub>s</sub>-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

R<sub>1</sub> and R<sub>4</sub> together form the group  $\text{B}-\text{CH}=\overset{\text{I}}{\text{C}}-$ ;

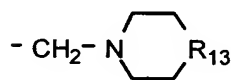
B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxycarbonylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF<sub>3</sub>, -OCF<sub>3</sub>, benzyl,

R<sub>7</sub>-benzyl, benzyloxy, R<sub>7</sub>-benzyloxy, phenoxy, R<sub>7</sub>-phenoxy, dioxolanyl, NO<sub>2</sub>, -N(R<sub>8</sub>)(R<sub>9</sub>), N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkylene-, N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkyleneoxy-, OH, halogeno, -CN, -N<sub>3</sub>, -NHC(O)OR<sub>10</sub>, -NHC(O)R<sub>10</sub>, R<sub>11</sub>O<sub>2</sub>SNH-, (R<sub>11</sub>O<sub>2</sub>S)<sub>2</sub>N-, -S(O)<sub>2</sub>NH<sub>2</sub>, -S(O)<sub>0-2</sub>R<sub>8</sub>, tert-butyl dimethyl-silyloxymethyl, -C(O)R<sub>12</sub>,

-COOR<sub>19</sub>, -CON(R<sub>8</sub>)(R<sub>9</sub>), -CH=CHC(O)R<sub>12</sub>, -lower alkylene-C(O)R<sub>12</sub>, R<sub>10</sub>C(O)(lower alkyleneoxy)-, N(R<sub>8</sub>)(R<sub>9</sub>)C(O)(lower alkyleneoxy)- and



for substitution on ring carbon atoms,

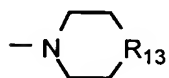
and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy,

-C(O)OR<sub>10</sub>, -C(O)R<sub>10</sub>, OH, N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkylene-,

N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkyleneoxy-, -S(O)<sub>2</sub>NH<sub>2</sub> and 2-(trimethylsilyl)-ethoxymethyl;

R<sub>7</sub> is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO<sub>2</sub>, -N(R<sub>8</sub>)(R<sub>9</sub>), OH, and halogeno;

R<sub>8</sub> and R<sub>9</sub> are independently selected from H or lower alkyl;  
 R<sub>10</sub> is selected from lower alkyl, phenyl, R<sub>7</sub>-phenyl, benzyl or R<sub>7</sub>-benzyl;  
 R<sub>11</sub> is selected from OH, lower alkyl, phenyl, benzyl, R<sub>7</sub>-phenyl or R<sub>7</sub>-benzyl;  
 R<sub>12</sub> is selected from H, OH, alkoxy, phenoxy, benzyloxy,



, -N(R<sub>8</sub>)(R<sub>9</sub>), lower alkyl, phenyl or R<sub>7</sub>-phenyl;

R<sub>13</sub> is selected from -O-, -CH<sub>2</sub>-, -NH-, -N(lower alkyl)- or -NC(O)R<sub>19</sub>;

R<sub>15</sub>, R<sub>16</sub> and R<sub>17</sub> are independently selected from the group consisting of H and the groups defined for W; or R<sub>15</sub> is hydrogen and R<sub>16</sub> and R<sub>17</sub>, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

R<sub>19</sub> is H, lower alkyl, phenyl or phenyl lower alkyl; and

R<sub>20</sub> and R<sub>21</sub> are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above,

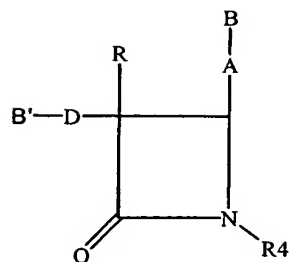
wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

56. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 55.

57. A composition comprising:

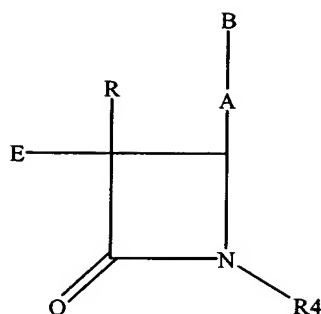
(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (VIIA) or (VIIB):



(VIIA)

, or

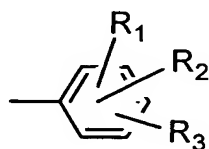


(VIIB)

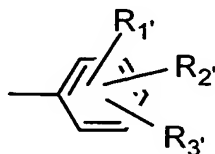
or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formulae (VIIA) or (VIIB) or of the isomers thereof, or prodrugs of the compounds of Formulae (VIIA) or (VIIB) or of the isomers, salts or solvates thereof, wherein in Formulae (VIIA) and (VIIB):

A is  $-\text{CH}=\text{CH}-$ ,  $-\text{C}\equiv\text{C}-$  or  $-(\text{CH}_2)_p-$  wherein p is 0, 1 or 2;

B is



B' is



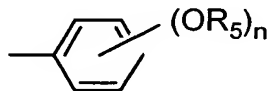
D is  $-(\text{CH}_2)_m\text{C}(\text{O})-$  or  $-(\text{CH}_2)_q-$  wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is  $\text{C}_{10}$  to  $\text{C}_{20}$  alkyl or  $-\text{C}(\text{O})-(\text{C}_9 \text{ to } \text{C}_{19})\text{-alkyl}$ , wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R is hydrogen, C<sub>1</sub>-C<sub>15</sub> alkyl, straight or branched, saturated or containing one or more double bonds, or B-(CH<sub>2</sub>)<sub>r</sub> -, wherein r is 0, 1, 2, or 3;

R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>1'</sub>, R<sub>2'</sub>, and R<sub>3'</sub> are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO<sub>2</sub>, NH<sub>2</sub>, OH, halogeno, lower alkylamino, dilower alkylamino, -NHC(O)OR<sub>5</sub>, R<sub>6</sub>O<sub>2</sub>SNH- and -S(O)<sub>2</sub>NH<sub>2</sub>;

R<sub>4</sub> is



wherein n is 0, 1, 2 or 3;

R<sub>5</sub> is lower alkyl; and

R<sub>6</sub> is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO<sub>2</sub>, NH<sub>2</sub>, OH, halogeno, lower alkylamino and dilower alkylamino.

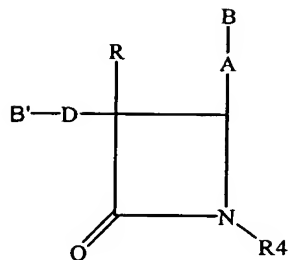
58. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 57 and a pharmaceutically acceptable carrier.

59. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 58.

60. A therapeutic combination comprising:

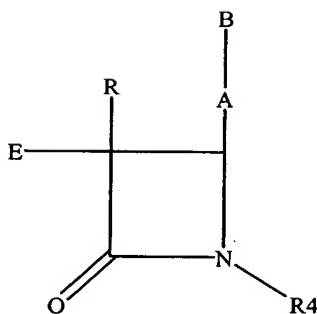
(a) a first amount of at least one of nicotinic acid or derivatives thereof; and

(b) a second amount of at least one sterol absorption inhibitor represented by Formula (VIIA) or (VIIB):



(VIIA)

or

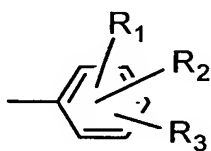


(VIIB)

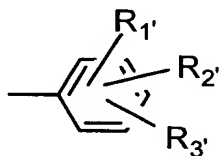
or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formulae (VIIA) or (VIIB) or of the isomers thereof, or prodrugs of the compounds of Formulae (VIIA) or (VIIB) or of the isomers, salts or solvates thereof, wherein in Formulae (VIIA) and (VIIB):

A is  $-\text{CH}=\text{CH}-$ ,  $-\text{C}\equiv\text{C}-$  or  $-(\text{CH}_2)_p-$  wherein p is 0, 1 or 2;

B is



B' is



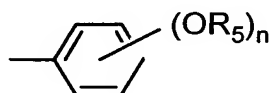
D is  $-(\text{CH}_2)_m\text{C}(\text{O})-$  or  $-(\text{CH}_2)_q-$  wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is  $\text{C}_{10}$  to  $\text{C}_{20}$  alkyl or  $-\text{C}(\text{O})-(\text{C}_9 \text{ to } \text{C}_{19})\text{-alkyl}$ , wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

R is hydrogen, C<sub>1</sub>-C<sub>15</sub> alkyl, straight or branched, saturated or containing one or more double bonds, or B-(CH<sub>2</sub>)<sub>r</sub> -, wherein r is 0, 1, 2, or 3;

R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>1'</sub>, R<sub>2'</sub>, and R<sub>3'</sub> are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO<sub>2</sub>, NH<sub>2</sub>, OH, halogeno, lower alkylamino, dilower alkylamino, -NHC(O)OR<sub>5</sub>, R<sub>6</sub>O<sub>2</sub>SNH- and -S(O)<sub>2</sub>NH<sub>2</sub>;

R<sub>4</sub> is



wherein n is 0, 1, 2 or 3;

R<sub>5</sub> is lower alkyl; and

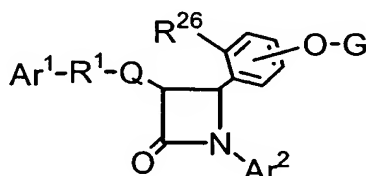
R<sub>6</sub> is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO<sub>2</sub>, NH<sub>2</sub>, OH, halogeno, lower alkylamino and dilower alkylamino, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

61. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 60.

62. A composition comprising:

(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (VIII):



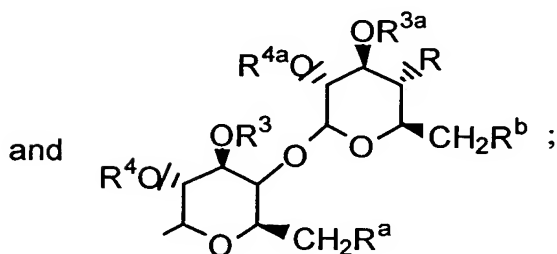
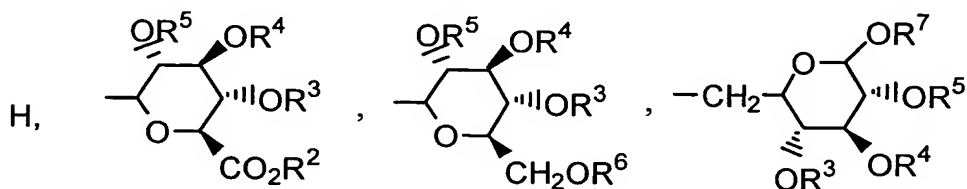
(VIII)



or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VIII) or of the isomers thereof, or prodrugs of the compounds of Formula (VIII) or of the isomers, salts or solvates thereof, wherein, in Formula (VIII) above,

$R^{26}$  is H or  $OG^1$ ;

G and  $G^1$  are independently selected from the group consisting of



provided that when  $R^{26}$  is H or

OH, G is not H;

R,  $R^a$  and  $R^b$  are independently selected from the group consisting of H, -OH, halogeno, -NH<sub>2</sub>, azido, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)-alkoxy or -W- $R^{30}$ ;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N( $R^{31}$ )-, -NH-C(O)-N( $R^{31}$ )- and -O-C(S)-N( $R^{31}$ )-;

$R^2$  and  $R^6$  are independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl;

$R^3$ ,  $R^4$ ,  $R^5$ ,  $R^7$ ,  $R^{3a}$  and  $R^{4a}$  are independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)(C<sub>1</sub>-C<sub>6</sub>)alkyl and -C(O)aryl;

$R^{30}$  is selected from the group consisting of  $R^{32}$ -substituted T,  $R^{32}$ -substituted-T-(C<sub>1</sub>-C<sub>6</sub>)alkyl,  $R^{32}$ -substituted-(C<sub>2</sub>-C<sub>4</sub>)alkenyl,  $R^{32}$ -substituted-(C<sub>1</sub>-C<sub>6</sub>)alkyl,  $R^{32}$ -substituted-(C<sub>3</sub>-C<sub>7</sub>)cycloalkyl and  $R^{32}$ -substituted-(C<sub>3</sub>-C<sub>7</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>31</sup> is selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

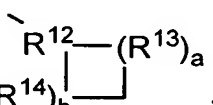
T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, iosthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R<sup>32</sup> is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C<sub>1</sub>-C<sub>4</sub>)alkyl, -OH, phenoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, methylenedioxy, oxo, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfanyl, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfinyl, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfonyl, -N(CH<sub>3</sub>)<sub>2</sub>, -C(O)-NH(C<sub>1</sub>-C<sub>4</sub>)alkyl, -C(O)-N((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>, -C(O)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, -C(O)-(C<sub>1</sub>-C<sub>4</sub>)alkoxy and pyrrolidinylcarbonyl; or R<sup>32</sup> is a covalent bond and R<sup>31</sup>, the nitrogen to which it is attached and R<sup>32</sup> form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C<sub>1</sub>-C<sub>4</sub>)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

Ar<sup>1</sup> is aryl or R<sup>10</sup>-substituted aryl;

Ar<sup>2</sup> is aryl or R<sup>11</sup>-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,

forms the spiro group ; and

R<sup>1</sup> is selected from the group consisting of








-(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH<sub>2</sub>)<sub>e</sub>-E-(CH<sub>2</sub>)<sub>r</sub>-, wherein E is -O-, -C(O)-, phenylene, -NR<sup>22</sup>- or -S(O)<sub>0-2</sub>-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C<sub>2</sub>-C<sub>6</sub>)alkenylene-; and

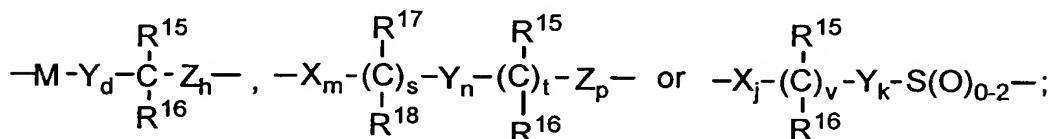
-(CH<sub>2</sub>)<sub>f</sub>-V-(CH<sub>2</sub>)<sub>g</sub>-, wherein V is C<sub>3</sub>-C<sub>6</sub> cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R<sup>12</sup> is

, , , , , , or ;

$R^{13}$  and  $R^{14}$  are independently selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{CH}(\text{C}_1\text{-C}_6 \text{ alkyl})-$ ,  $-\text{C}(\text{di}-(\text{C}_1\text{-C}_6 \text{ alkyl}))$ ,  $-\text{CH}=\text{CH}-$  and  $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$ ; or  $R^{12}$  together with an adjacent  $R^{13}$ , or  $R^{12}$  together with an adjacent  $R^{14}$ , form a  $-\text{CH}=\text{CH}-$  or a  $-\text{CH}=\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})-$  group;

5 a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when  $R^{13}$  is  $-\text{CH}=\text{CH}-$  or  $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$ , a is 1; provided that when  $R^{14}$  is  $-\text{CH}=\text{CH}-$  or  $-\text{C}(\text{C}_1\text{-C}_6 \text{ alkyl})=\text{CH}-$ , b is 1; provided that when a is 2 or 3, the  $R^{13}$ 's can be the same or different; and provided that when b is 2 or 3, the  $R^{14}$ 's can be the same or different; and when Q is a bond,  $R^1$  also can be:



M is  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{S}(\text{O})-$  or  $-\text{S}(\text{O})_2-$ ;

X, Y and Z are independently selected from the group consisting of  $-\text{CH}_2-$ ,  $-\text{CH}(\text{C}_1\text{-C}_6 \text{ alkyl})-$  and  $-\text{C}(\text{di}-(\text{C}_1\text{-C}_6 \text{ alkyl}))$ ;

15  $R^{10}$  and  $R^{11}$  are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of  $(\text{C}_1\text{-C}_6 \text{ alkyl})$ ,  $-\text{OR}^{19}$ ,  $-\text{O}(\text{CO})\text{R}^{19}$ ,  $-\text{O}(\text{CO})\text{OR}^{21}$ ,  $-\text{O}(\text{CH}_2)_{1-5}\text{OR}^{19}$ ,  $-\text{O}(\text{CO})\text{NR}^{19}\text{R}^{20}$ ,  $-\text{NR}^{19}\text{R}^{20}$ ,  $-\text{NR}^{19}(\text{CO})\text{R}^{20}$ ,  $-\text{NR}^{19}(\text{CO})\text{OR}^{21}$ ,  $-\text{NR}^{19}(\text{CO})\text{NR}^{20}\text{R}^{25}$ ,  $-\text{NR}^{19}\text{SO}_2\text{R}^{21}$ ,  $-\text{COOR}^{19}$ ,  $-\text{CONR}^{19}\text{R}^{20}$ ,  $-\text{COR}^{19}$ ,  $-\text{SO}_2\text{NR}^{19}\text{R}^{20}$ ,  $\text{S}(\text{O})_{0-2}\text{R}^{21}$ ,  $-\text{O}(\text{CH}_2)_{1-10}\text{COOR}^{19}$ ,  $-\text{O}(\text{CH}_2)_{1-10}\text{CONR}^{19}\text{R}^{20}$ ,  $-(\text{C}_1\text{-C}_6 \text{ alkylene})-\text{COOR}^{19}$ ,  $-\text{CH}=\text{CH}-\text{COOR}^{19}$ ,  $-\text{CF}_3$ ,  $-\text{CN}$ ,  $-\text{NO}_2$  and halogen;

$R^{15}$  and  $R^{17}$  are independently selected from the group consisting of  $-\text{OR}^{19}$ ,  $-\text{O}(\text{CO})\text{R}^{19}$ ,  $-\text{O}(\text{CO})\text{OR}^{21}$  and  $-\text{O}(\text{CO})\text{NR}^{19}\text{R}^{20}$ ;

25  $R^{16}$  and  $R^{18}$  are independently selected from the group consisting of H,  $(\text{C}_1\text{-C}_6 \text{ alkyl})$  and aryl; or  $R^{15}$  and  $R^{16}$  together are  $=\text{O}$ , or  $R^{17}$  and  $R^{18}$  together are  $=\text{O}$ ;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided

5 that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

and when Q is a bond and R<sup>1</sup> is 
$$\begin{array}{c} \text{R}^{15} \\ | \\ -\text{X}_j-(\text{C})_v-\text{Y}_k-\text{S}(\text{O})_{0-2}- \\ | \\ \text{R}^{16} \end{array}$$
, Ar<sup>1</sup> can also be  
pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl,  
pyrimidinyl or pyridazinyl;

R<sup>19</sup> and R<sup>20</sup> are independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl-substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>21</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl or R<sup>24</sup>-substituted aryl;

R<sup>22</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl (C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)R<sup>19</sup> or -COOR<sup>19</sup>;

15 R<sup>23</sup> and R<sup>24</sup> are independently 1-3 groups independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -COOH, NO<sub>2</sub>, -NR<sup>19</sup>R<sup>20</sup>, -OH and halogeno; and

R<sup>25</sup> is H, -OH or (C<sub>1</sub>-C<sub>6</sub>)alkoxy.

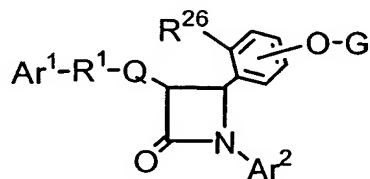
20 63. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 62 and a pharmaceutically acceptable carrier.

25 64. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 63.

30 65. A therapeutic combination comprising:

(a) a first amount of at least one of nicotinic acid or derivatives thereof; and

(b) a second amount of at least one sterol absorption inhibitor represented by Formula (VIII):

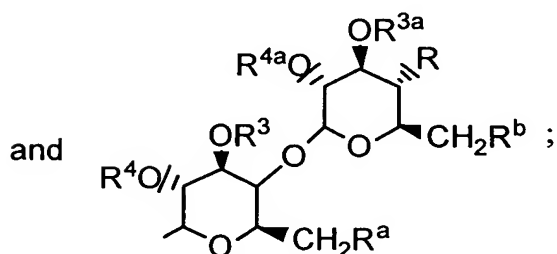
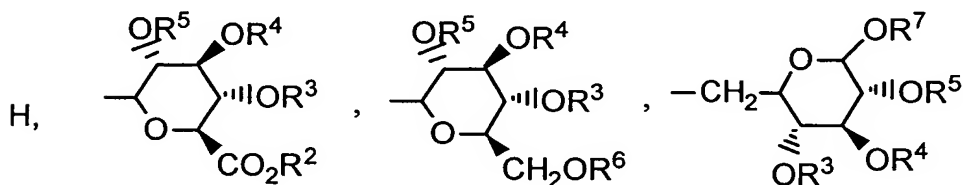


(VIII)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VIII) or of the isomers thereof, or prodrugs of the compounds of Formula (VIII) or of the isomers, salts or solvates thereof, wherein, in Formula (VIII) above,

R<sup>26</sup> is H or OG<sup>1</sup>;

G and G<sup>1</sup> are independently selected from the group consisting of



provided that when R<sup>26</sup> is H or

OH, G is not H;

R, R<sup>a</sup> and R<sup>b</sup> are independently selected from the group consisting of H, -OH, halogeno, -NH<sub>2</sub>, azido, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)-alkoxy or -W-R<sup>30</sup>;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R<sup>31</sup>)-, -NH-C(O)-N(R<sup>31</sup>)- and -O-C(S)-N(R<sup>31</sup>)-;

$R^2$  and  $R^6$  are independently selected from the group consisting of H, (C1-C6)alkyl, aryl and aryl(C1-C6)alkyl;

$R^3$ ,  $R^4$ ,  $R^5$ ,  $R^7$ ,  $R^{3a}$  and  $R^{4a}$  are independently selected from the group consisting of H, (C1-C6)alkyl, aryl(C1-C6)alkyl, -C(O)(C1-C6)alkyl and -C(O)aryl;

$R^{30}$  is selected from the group consisting of  $R^{32}$ -substituted T,  $R^{32}$ -substituted-T-(C1-C6)alkyl,  $R^{32}$ -substituted-(C2-C4)alkenyl,  $R^{32}$ -substituted-(C1-C6)alkyl,  $R^{32}$ -substituted-(C3-C7)cycloalkyl and  $R^{32}$ -substituted-(C3-C7)cycloalkyl(C1-C6)alkyl;

$R^{31}$  is selected from the group consisting of H and (C1-C4)alkyl;

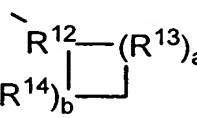
T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

$R^{32}$  is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C1-C4)alkyl, -OH, phenoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, (C1-C4)alkoxy, methylenedioxy, oxo, (C1-C4)alkylsulfanyl, (C1-C4)alkylsulfinyl, (C1-C4)alkylsulfonyl, -N(CH<sub>3</sub>)<sub>2</sub>, -C(O)-NH(C1-C4)alkyl, -C(O)-N((C1-C4)alkyl)<sub>2</sub>, -C(O)-(C1-C4)alkyl, -C(O)-(C1-C4)alkoxy and pyrrolidinylcarbonyl; or  $R^{32}$  is a covalent bond and  $R^{31}$ , the nitrogen to which it is attached and  $R^{32}$  form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C1-C4)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

$Ar^1$  is aryl or  $R^{10}$ -substituted aryl;

$Ar^2$  is aryl or  $R^{11}$ -substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,

forms the spiro group ; and

$R^1$  is selected from the group consisting of

-(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

$-(CH_2)_e-E-(CH_2)_r$ , wherein E is -O-, -C(O)-, phenylene, -NR<sup>22</sup>- or -S(O)<sub>0-2</sub>-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C<sub>2</sub>-C<sub>6</sub>)alkenylene-; and

$-(CH_2)_f-V-(CH_2)_g$ , wherein V is C<sub>3</sub>-C<sub>6</sub> cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R<sup>12</sup> is

$-CH-$ ,  $-C(C_1-C_6 \text{ alkyl})-$ ,  $-CF-$ ,  $-C(OH)-$ ,  $-C(C_6H_4-R^{23})-$ ,  $-N-$ , or  $-NO-$ ;

R<sup>13</sup> and R<sup>14</sup> are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(C<sub>1</sub>-C<sub>6</sub> alkyl)-, -C(di-(C<sub>1</sub>-C<sub>6</sub>) alkyl)-, -CH=CH- and -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-; or R<sup>12</sup> together with an adjacent R<sup>13</sup>, or R<sup>12</sup> together with an adjacent R<sup>14</sup>, form a -CH=CH- or a -CH=C(C<sub>1</sub>-C<sub>6</sub> alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero;

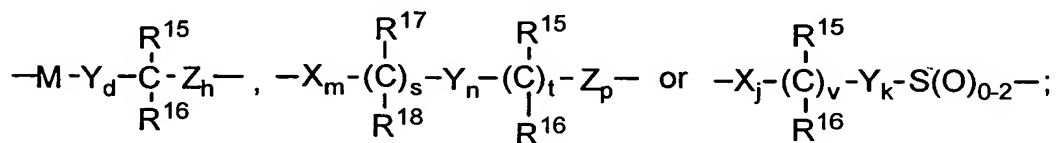
provided that when R<sup>13</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, a is 1;

provided that when R<sup>14</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, b is 1;

provided that when a is 2 or 3, the R<sup>13</sup>'s can be the same or different; and

provided that when b is 2 or 3, the R<sup>14</sup>'s can be the same or different;

and when Q is a bond, R<sup>1</sup> also can be:



M is -O-, -S-, -S(O)- or -S(O)<sub>2</sub>-;

X, Y and Z are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(C<sub>1</sub>-C<sub>6</sub>)alkyl- and -C(di-(C<sub>1</sub>-C<sub>6</sub>)alkyl);

R<sup>10</sup> and R<sup>11</sup> are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, -OR<sup>19</sup>, -O(CO)R<sup>19</sup>, -O(CO)OR<sup>21</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>19</sup>, -O(CO)NR<sup>19</sup>R<sup>20</sup>, -NR<sup>19</sup>R<sup>20</sup>, -NR<sup>19</sup>(CO)R<sup>20</sup>, -NR<sup>19</sup>(CO)OR<sup>21</sup>, -NR<sup>19</sup>(CO)NR<sup>20</sup>R<sup>25</sup>, -NR<sup>19</sup>SO<sub>2</sub>R<sup>21</sup>, -COOR<sup>19</sup>, -CONR<sup>19</sup>R<sup>20</sup>, -COR<sup>19</sup>, -SO<sub>2</sub>NR<sup>19</sup>R<sup>20</sup>, S(O)<sub>0-2</sub>R<sup>21</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>19</sup>,

-O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>19</sup>R<sup>20</sup>, -(C<sub>1</sub>-C<sub>6</sub> alkylene)-COOR<sup>19</sup>, -CH=CH-COOR<sup>19</sup>,  
-CF<sub>3</sub>, -CN, -NO<sub>2</sub> and halogen;

R<sup>15</sup> and R<sup>17</sup> are independently selected from the group consisting of  
-OR<sup>19</sup>, -O(CO)R<sup>19</sup>, -O(CO)OR<sup>21</sup> and -O(CO)NR<sup>19</sup>R<sup>20</sup>;

R<sup>16</sup> and R<sup>18</sup> are independently selected from the group consisting of H,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl; or R<sup>15</sup> and R<sup>16</sup> together are =O, or R<sup>17</sup> and R<sup>18</sup> together are  
=O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided  
that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

and when Q is a bond and R<sup>1</sup> is 
$$\begin{array}{c} \text{R}^{15} \\ | \\ -\text{X}_j-(\text{C})_v-\text{Y}_k-\text{S}(\text{O})_{0-2}- \\ | \\ \text{R}^{16} \end{array}$$
, Ar<sup>1</sup> can also be  
pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl,  
pyrimidinyl or pyridazinyl;

R<sup>19</sup> and R<sup>20</sup> are independently selected from the group consisting of H, (C<sub>1</sub>-  
C<sub>6</sub>)alkyl, aryl and aryl-substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>21</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl or R<sup>24</sup>-substituted aryl;

R<sup>22</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl (C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)R<sup>19</sup> or -COOR<sup>19</sup>;

R<sup>23</sup> and R<sup>24</sup> are independently 1-3 groups independently selected from the  
group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -COOH, NO<sub>2</sub>,

-NR<sup>19</sup>R<sup>20</sup>, -OH and halogeno; and

R<sup>25</sup> is H, -OH or (C<sub>1</sub>-C<sub>6</sub>)alkoxy,

wherein the first amount and the second amount together comprise a therapeutically  
effective amount for the treatment or prevention of a vascular condition, diabetes,  
obesity or lowering a concentration of a sterol in plasma of a mammal.

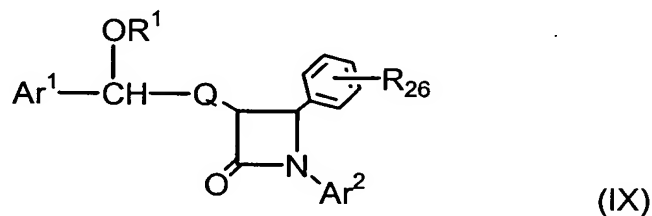


66. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 65.

67. A composition comprising:

(a) at least one of nicotinic acid or derivatives thereof; and

(b) at least one sterol absorption inhibitor represented by Formula (IX):

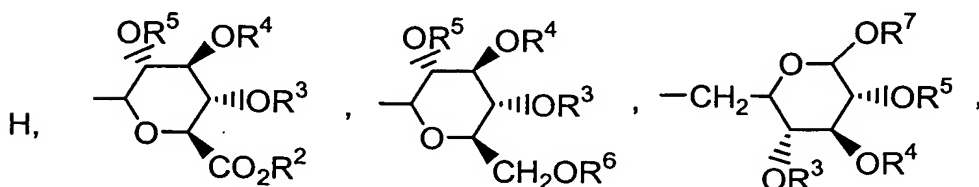


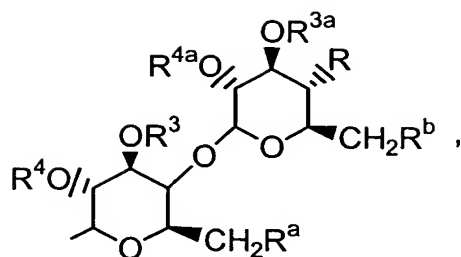
or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (IX) or of the isomers thereof, or prodrugs of the compounds of Formula (IX) or of the isomers, salts or solvates thereof, wherein in Formula (IX):

R<sup>26</sup> is selected from the group consisting of:

- a) OH;
- b) OCH<sub>3</sub>;
- c) fluorine and
- d) chlorine.

R<sup>1</sup> is selected from the group consisting of





-SO<sub>3</sub>H; natural and unnatural amino acids.

R, R<sup>a</sup> and R<sup>b</sup> are independently selected from the group consisting of H, -OH, halogeno, -NH<sub>2</sub>, azido, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)-alkoxy and -W-R<sup>30</sup>;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R<sup>31</sup>)-, -NH-C(O)-N(R<sup>31</sup>)- and -O-C(S)-N(R<sup>31</sup>)-;

R<sup>2</sup> and R<sup>6</sup> are independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>7</sup>, R<sup>3a</sup> and R<sup>4a</sup> are independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)(C<sub>1</sub>-C<sub>6</sub>)alkyl and -C(O)aryl;

R<sup>30</sup> is independently selected from the group consisting of R<sup>32</sup>-substituted T, R<sup>32</sup>-substituted-T-(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>32</sup>-substituted-(C<sub>2</sub>-C<sub>4</sub>)alkenyl, R<sup>32</sup>-substituted-(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>32</sup>-substituted-(C<sub>3</sub>-C<sub>7</sub>)cycloalkyl and R<sup>32</sup>-substituted-(C<sub>3</sub>-C<sub>7</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>31</sup> is independently selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

T is independently selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R<sup>32</sup> is independently selected from 1-3 substituents independently selected from the group consisting of H, halogeno, (C<sub>1</sub>-C<sub>4</sub>)alkyl, -OH, phenoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, methylenedioxy, oxo, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfanyl, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfinyl, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfonyl, -N(CH<sub>3</sub>)<sub>2</sub>, -C(O)-NH(C<sub>1</sub>-C<sub>4</sub>)alkyl, -C(O)-N((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>, -C(O)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, -C(O)-(C<sub>1</sub>-C<sub>4</sub>)alkoxy and pyrrolidinylcarbonyl; or R<sup>32</sup> is a covalent bond and R<sup>31</sup>, the nitrogen to which it is attached and R<sup>32</sup> form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C<sub>1</sub>-C<sub>4</sub>)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

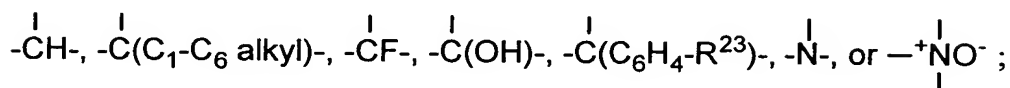
Ar<sup>1</sup> is aryl or R<sup>10</sup>-substituted aryl;

Ar<sup>2</sup> is aryl or R<sup>11</sup>-substituted aryl;

Q is -(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 2-6, or, with the 3-position ring carbon of the azetidinone,



R<sup>12</sup> is



10                      R<sup>13</sup> and R<sup>14</sup> are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(C<sub>1</sub>-C<sub>6</sub> alkyl)-, -C(di-(C<sub>1</sub>-C<sub>6</sub>) alkyl)-, -CH=CH- and -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-; or R<sup>12</sup> together with an adjacent R<sup>13</sup>, or R<sup>12</sup> together with an adjacent R<sup>14</sup>, form a -CH=CH- or a -CH=C(C<sub>1</sub>-C<sub>6</sub> alkyl)- group;

15                      a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R<sup>13</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, a is 1; provided that when R<sup>14</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R<sup>13</sup>'s can be the same or different; and provided that when b is 2 or 3, the R<sup>14</sup>'s can be the same or different;

20                      R<sup>10</sup> and R<sup>11</sup> are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, -OR<sup>19</sup>, -O(CO)R<sup>19</sup>, -O(CO)OR<sup>21</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>19</sup>, -O(CO)NR<sup>19</sup>R<sup>20</sup>, -NR<sup>19</sup>R<sup>20</sup>, -NR<sup>19</sup>(CO)R<sup>20</sup>, -NR<sup>19</sup>(CO)OR<sup>21</sup>, -NR<sup>19</sup>(CO)NR<sup>20</sup>R<sup>25</sup>, -NR<sup>19</sup>SO<sub>2</sub>R<sup>21</sup>, -COOR<sup>19</sup>, -CONR<sup>19</sup>R<sup>20</sup>, -COR<sup>19</sup>, -SO<sub>2</sub>NR<sup>19</sup>R<sup>20</sup>, S(O)<sub>0-2</sub>R<sup>21</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>19</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>19</sup>R<sup>20</sup>, -(C<sub>1</sub>-C<sub>6</sub> alkylene)-COOR<sup>19</sup>, -CH=CH-COOR<sup>19</sup>, -CF<sub>3</sub>, -CN, -NO<sub>2</sub> and halogen;

25                      Ar<sup>1</sup> can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

R<sup>19</sup> and R<sup>20</sup> are independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl-substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>21</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl or R<sup>24</sup>-substituted aryl;

R<sup>22</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl (C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)R<sup>19</sup> or -COOR<sup>19</sup>;

5 R<sup>23</sup> and R<sup>24</sup> are independently 1-3 groups independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -COOH, NO<sub>2</sub>, -NR<sup>19</sup>R<sup>20</sup>, -OH and halogeno; and

R<sup>25</sup> is H, -OH or (C<sub>1</sub>-C<sub>6</sub>)alkoxy.

10 68. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 67 and a pharmaceutically acceptable carrier.

15 69. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 68.

20 70. A composition comprising: (a) at least one of nicotinic acid or derivatives thereof; and (b) at least one substituted azetidinone compound or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the at least one substituted azetidinone compound or of the isomers, salts or solvates thereof.

25 71. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 70 and a pharmaceutically acceptable carrier.

30 72. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the

step of administering to a mammal in need of such treatment an effective amount of the composition of claim 70.

73. A therapeutic combination comprising:

- 5 (a) a first amount of at least one of nicotinic acid or derivatives thereof; and
- (b) a second amount of at least one substituted azetidinone compound or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the at least one substituted azetidinone compound or of the isomers, salts or solvates thereof,
- 10

wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

15 74. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the therapeutic combination of claim 73 and a pharmaceutically acceptable carrier.

20 75. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 73.

25 76. A composition comprising: (a) at least one of nicotinic acid or derivatives thereof; and (b) at least one substituted  $\beta$ -lactam compound or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the at least one substituted  $\beta$ -lactam compound or of the isomers, salts or solvates thereof.

30 77. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 76 and a pharmaceutically acceptable carrier.

78. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 77.

79. A therapeutic combination comprising:

- (a) a first amount of at least one of nicotinic acid or derivatives thereof; and
- (c) a second amount of at least one substituted  $\beta$ -lactam compound or a pharmaceutically acceptable salt or solvate thereof, or prodrug of the at least one substituted  $\beta$ -lactam compound or of the isomers, salts or solvates thereof,

wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

80. A pharmaceutical composition for the treatment or prevention of a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the therapeutic combination of claim 79 and a pharmaceutically acceptable carrier.

81. A method of treating or preventing a vascular condition, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the composition of claim 80.